FILE 'REGISTRY' ENTERED AT 14:58:14 ON 23 FEB 2004
6 S AZITHROMYCIN/CN OR ERYTHROMYCIN/CN OR CLARITHROMYCIN/CN OR RU

FILE 'CAPLUS, WPIDS, MEDLINE' ENTERED AT 14:59:35 ON 23 FEB 2004

FILE 'REGISTRY' ENTERED AT 14:59:43 ON 23 FEB 2004 SET SMARTSELECT ON

SEL L1 1- CHEM: 152 TERMS
SET SMARTSELECT OFF

FILE 'CAPLUS, WPIDS, MEDLINE' ENTERED AT 14:59:46 ON 23 FEB 2004 75299 S L2/BI

66 S L3 (50A) (SUBCUTANEOUS? OR SUBDERM? OR SUBINTEGUMENTAL? OR SU 64 DUP REM L4 (2 DUPLICATES REMOVED)

All reviewed on the

L1

L2

L3

L4

FILE 'REGISTRY' ENTERED AT 15:29:11 ON 23 FEB 2004 1 S AZITHROMYCIN/CN L6 · FILE 'CAPLUS, WPIDS, MEDLINE' ENTERED AT 15:29:27 ON 23 FEB 2004 FILE 'REGISTRY' ENTERED AT 15:29:35 ON 23 FEB 2004 SET SMARTSELECT ON SEL L6 1- CHEM: 37 TERMS L7 SET SMARTSELECT OFF FILE 'CAPLUS, WPIDS, MEDLINE' ENTERED AT 15:29:36 ON 23 FEB 2004 L85013 S L7/BI 32 S L8 (100A) (GEL# OR HYDROGEL# OR ORGANOGEL# OR LIPOSOM?) L9 21 DUP REM L9 (11 DUPLICATES REMOVED) L10 => d que 110 1 SEA FILE=REGISTRY AZITHROMYCIN/CN L6 SEL L6 1- CHEM: 37 TERMS L7 $\Gamma8$ 5013 SEA L7/BI 32 SEA L8 (100A) (GEL# OR HYDROGEL# OR ORGANOGEL# OR LIPOSOM?) L9 110 21 DUP REM L9 (11 DUPLICATES REMOVED)

- L10 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
- AN 2003:281738 CAPLUS
- DN 140:52785
- TI Cell handling, membrane-binding properties and membrane-penetration modeling approaches of pivampicillin and phthalimidomethylampicillin, two basic esters of ampicillin, in comparison with chloroquine and azithromycin
- AU Chanteux, Hugues; Paternotte, Isabelle; Mingeot-Leclercq, Marie-Paule; Brasseur, Robert; Sonveaux, E.; Tulkens, Paul M.
- CS Unite de Pharmacologie Cellulaire et Moleculaire, Universite Catholique de Louvain, Brussels, B-1200, Belg.
- SO Pharmaceutical Research (2003), 20(4), 624-631 CODEN: PHREEB; ISSN: 0724-8741
- PB Kluwer Academic/Plenum Publishers
- DT Journal
- LA English
- RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- The purpose of this work was to examine and understand the cellular AB pharmacokinetics of 2 basic esters of ampicillin, pivaloyloxymethyl (PIVA) and phthalimidomethyl (PIMA), in comparison with lysosomotropic drugs (chloroquine, azithromycin). Cell culture studies (J774 macrophages) were undertaken to study uptake and release kinetics and to assess the influence of concn., pH, proton ionophore (monensin), and MRP and P-gp inhibitors (probenecid, gemfibrozil, cyclosporin A, GF 120918). Equil. dialysis with liposomes were performed to directly assess the extent of drug binding to bilayers. Conformational anal. modeling of the drug penetration in bilayers was conducted to rationalize the exptl. observations. PIVA and PIMA showed properties in almost complete contrast with those of chloroquine and azithromycin, i.e., fast apparent accumulation and fast release at 4.degree.C as well as at 37.degree.C, satn. of uptake (apparent Kd 40 .mu.M), no influence of monensin, MRP, or P-gp inhibitors; tight binding to liposomes (Kd approx. 40 .mu.M); and sharp increase in calcd. free energy when forced in the hydrophobic domain. Although they are weak org. bases, PIVA and PIMA show none of the properties of lysosomotropic agents. The authors hypothesize that they remain locked onto the pericellular membrane and may never penetrate cells as such in significant amts.
- IT Conformation

Lipophilicity

Liposomes

Lysosome

Macrophage

Simulation and Modeling, biological

рн

(membrane-binding properties and membrane-penetration modeling of pivampicillin and phthalimidomethylampicillin in comparison with chloroquine and azithromycin)

- L10 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
- AN 2003:372292 CAPLUS
- DN 139:159506
- TI The macrolide antibiotic azithromycin interacts with lipids and affects membrane organization and fluidity: Studies on Langmuir-Blodgett monolayers, liposomes and J774 macrophages
- AU Tyteca, D.; Schanck, A.; Dufrene, Y. F.; Deleu, M.; Courtoy, P. J.; Tulkens, P. M.; Mingeot-Leclercq, M. P.
- CS Unite de Pharmacologie Cellulaire et Moleculaire, Universite Catholique de Louvain, Brussels, Belg.
- SO Journal of Membrane Biology (2003), 192(3), 203-215

```
CODEN: JMBBBO; ISSN: 0022-2631
PB
     Springer-Verlag New York Inc.
DT
     Journal
LΑ
     English
RE.CNT 60
              THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     The macrolide antibiotic azithromycin interacts with lipids and
TТ
     affects membrane organization and fluidity: Studies on Langmuir-Blodgett
     monolayers, liposomes and J774 macrophages
     macrolide antibiotic azithromycin lipid membrane
ST
     liposome macrophage endocytosis
IT
     Macrolides
     RL: PAC (Pharmacological activity); BIOL (Biological study)
        (antibiotics; the macrolide antibiotic azithromycin interacts
        with lipids and affects membrane organization and fluidity: studies on
        Langmuir-Blodgett monolayers, liposomes and J774 macrophages)
IT
     Biological transport
        (internalization; the macrolide antibiotic azithromycin
        interacts with lipids and affects membrane organization and fluidity:
        studies on Langmuir-Blodgett monolayers, liposomes and J774
        macrophages)
ΙT
     Antibiotics
        (macrolide; the macrolide antibiotic azithromycin interacts
        with lipids and affects membrane organization and fluidity: studies on
        Langmuir-Blodgett monolayers, liposomes and J774 macrophages)
IT
     Cell membrane
     Endocytosis
       Liposomes
     Macrophage
        (the macrolide antibiotic azithromycin interacts with lipids
        and affects membrane organization and fluidity: studies on
        Langmuir-Blodgett monolayers, liposomes and J774 macrophages)
ΙT
     Lipids, biological studies
     Phospholipids, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (the macrolide antibiotic azithromycin interacts with lipids
        and affects membrane organization and fluidity: studies on
        Langmuir-Blodgett monolayers, liposomes and J774 macrophages)
IT
     83905-01-5, Azithromycin
     RL: PAC (Pharmacological activity); BIOL (Biological study)
        (the macrolide antibiotic azithromycin interacts with lipids
        and affects membrane organization and fluidity: studies on
        Langmuir-Blodgett monolayers, liposomes and J774 macrophages)
L10 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2002:849452 CAPLUS
     137:346177
DN
     Azithromycin for treatment of noninfective inflammatory diseases
TI
     Culic, Ognjen; Parnham, Michael; Erakovic, Vesna
IN
     Pliva D.D., Croatia
PΑ
     PCT Int. Appl., 52 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                     KIND DATE
     PATENT NO.
                                          APPLICATION NO.
                                                            DATE
                     ____
                           _____
                                           _____
PΙ
     WO 2002087596
                      A2
                            20021107
                                          WO 2002-EP3984
                                                            20020410
     WO 2002087596
                      A3 20030103
         W: AU, BA, BG, BR, CA, CH, CN, CZ, HR, HU, ID, IL, IN, JP, MK, MX,
             NZ, PL, RO, SI, SK, US, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
```

PT, SE, TR HR 2001000301 20011231 HR 2001-301 20010427 Α1 PRAI HR 2001-301 Α 20010427 Drug delivery systems (liposomes; azithromycin for treatment of noninfective inflammatory diseases) L10 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3 2002:267551 CAPLUS AN DN 137:315818 Design of antibacterial drug and antimycobacterial drug for drug delivery ΤI system

ΑU Yanagihara, Katsunori

The Second Department of Internal Medicine, Nagasaki University School of CS Medicine, Nagasaki, 852, Japan

Current Pharmaceutical Design (2002), 8(6), 475-482 SO CODEN: CPDEFP; ISSN: 1381-6128

Bentham Science Publishers PB

Journal; General Review DT

LA English

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 37 ALL CITATIONS AVAILABLE IN THE RE FORMAT

A review. Liposome-encapsulated drugs often exhibit reduced toxicity and AΒ have also been shown to enhance retention of drugs in the tissues. Thus, encapsulation of drugs in liposomes has often resulted in an improved overall therapeutic efficacy. The results of efficacy of liposome -encapsulated ciprofloxacin or azithromycin for therapy of intracellular M. avium infection show enhanced cellular delivery of liposome-encapsulated antibiotics and suggest that efficiency of intracellular targeting is sufficient to mediate enhanced antimycobacterial effects. The antitubercular drugs encapsulated in lung specific stealth liposomes have enhanced efficacies against tuberculosis infection in mice. These results from stealth liposome study indicate that antitubercular drugs encapsulated in liposome may provide therapeutic advantages over the existing chemotherapeutic regimen for tuberculosis. Liposomes with encapsulated amikacin are able to protect collagen almost completely from adherence of bacterial cells of all strains examd. and prevent from invading of bacteria.

L10 ANSWER 5 OF 21 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN

AN 2001-193194 [20] WPIDS

DNC C2001-058096

Preparation of ophthalmic aqueous formulation comprising azithromycin by TIsolubilizing polybasic phosphate and citric acid monohydrate and adding azithromycin.

DC

IN ASERO, A; BLANCO, A R; MAZZONE, M G; MOSCHETTI, V

(SIFI-N) SIFI SOC IND FARM ITAL SPA PA

CYC 29

A2 20010214 (200120)* EN PΙ 18p

> R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI

CA 2315594 A1 20010209 (200121) EN

JP 2001089378 A 20010403 (200126) 47p

B1 20010821 (200150) US 6277829

IT 1313610 B 20020909 (200305)

EP 1075837 B1 20030507 (200333)

R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT RO SE

DE 69907664 E 20030612 (200346)

MX 2000007530 A1 20020601 (200365)

ES 2193658 T3 20031101 (200382)

EP 1075837 A2 EP 1999-125642 19991222; CA 2315594 A1 CA 2000-2315594

20000808; JP 2001089378 A JP 2000-240196 20000808; US 6277829 B1 US 1999-472209 19991227; IT 1313610 B IT 1999-MI1803 19990809; EP 1075837 B1 EP 1999-125642 19991222; DE 69907664 E DE 1999-607664 19991222, EP 1999-125642 19991222; MX 2000007530 A1 MX 2000-7530 20000801; ES 2193658 T3 EP 1999-125642 19991222

FDT DE 69907664 E Based on EP 1075837; ES 2193658 T3 Based on EP 1075837 PRAI IT 1999-MI1803 19990809
TECH UPTX: 20010410

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Process: The molar ratio of azithromycin to citric acid is 1.5:1. The polybasic phosphate is disodium hydrogen phosphate dodecahydrate. The pH is 6.6-7.6. After the solubilization of azithromycin, the addition of tonicity agent(s) and/or viscosity-increasing agent(s) and/or gelling agent(s) and/or stabilizing agent(s) and preservative agent is also included. The concentration of azithromycin is 0.01-10 wt./vol.%.

Preferred Formulation: In addition to azithromycin at least another therapeutic antibacterial agent (especially aminoglycosides, fluoroquinolones, tetracyclines, polymyxin, glycopeptides, glycoproteins, natural/synthetic peptides or beta-lactams derivatives) and/or steroidal/non-steroidal antiinflammatory agent (especially steroidals from desonide 21-phosphate, dexamethasone, clobetasone, mometasone, beta metasone or fluticasone or non-steroidals from naproxen, diclofenac, nimesulide or flubiprofen) is also included. The formulation comprises aqueous solution, ointment or gel.

- L10 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4
- AN 1999:228766 CAPLUS
- DN 131:67678
- TI Interactions of Macrolide Antibiotics (Erythromycin A, Roxithromycin, Erythromycylamine [Dirithromycin], and Azithromycin) with Phospholipids: Computer-Aided Conformational Analysis and Studies on Acellular and Cell Culture Models
- AU Montenez, J.-P.; Van Bambeke, F.; Piret, J.; Brasseur, R.; Tulkens, P. M.; Mingeot-Leclercq, M.-P.
- CS Unite de Pharmacologie Cellulaire et Moleculaire, Universite Catholique de Louvain, Brussels, B-1200, Belg.
- SO Toxicology and Applied Pharmacology (1999), 156(2), 129-140 CODEN: TXAPA9; ISSN: 0041-008X
- PB Academic Press
- DT Journal
- LA English
- RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- The potential of 14/15 membered macrolides to cause phospholipidosis has AB been prospectively assessed, and structure-effects examd., using combined exptl. and conformational approaches. Biochem. studies demonstrated drug binding to phosphatidylinositol-contg. liposomes and inhibition of the activity of lysosomal phospholipase Al toward phosphatidylcholine included in the bilayer, in close correlation with the no. of cationic groups carried by the drugs (erythromycin A .ltoreq. roxithromycin < erythromycylamine .ltoreq. azithromycin). In cultured cells (fibroblasts), phospholipidosis (affecting all major phospholipids except sphingomyelin) was obsd. after 3 days with the following ranking: erythromycin A .ltoreq. roxithromycin < erythromycylamine < azithromycin (roxithromycin could, however, not be studied in detail due to intrinsic toxicity). The difference between erythromycylamine and azithromycin was accounted for by the lower cellular accumulation of erythromycylamine. In parallel, based on a methodol. developed and validated to study drug-membrane interactions, the conformational analyses revealed that erythromycin A, roxithromycin, erythromycylamine, and azithromycin penetrate into the hydrophobic domain of a phosphatidylinositol monolayer through their desosamine and cladinose moieties, whereas their macrocycle

is found close to the interface. This position allows the amino groups carried by the macrocycle of the diaminated macrolides (erythromycylamine and azithromycin) to come into close contact with the neg. charged phospho group of phosphatidylinositol, whereas the amine located on the C-3 of the desosamine, common to all four drugs, is located at a greater distance from this phospho group. Our study suggests that all macrolides have the potential to cause phospholipidosis but that this effect is modulated by toxicodynamic and toxicokinetic parameters related to the drug structure and mainly to their cationic character. (c) 1999 Academic Press.

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ANSWER 7 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 5
L10
AN
     1998:542950 CAPLUS
DN
     129:193713
     Pain reducing parenteral liposome formulation containing macrolide drugs
ΤI
     and negatively charged lipids
     Liu, Rong; Peck, Kendall D.; Flood, Kolette M.; Zheng, Jack
IN
     Abbott Laboratories, USA
PΑ
     PCT Int. Appl., 26 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                                         APPLICATION NO. DATE
     PATENT NO. KIND DATE
     WO 9833482 A1 19980806
                                         WO 1998-US1430 19980126
PΙ
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
             GA, GN, ML, MR, NE, SN, TD, TG
                                        AU 1998-60414
     AU 9860414
                      A1 19980825
                                                           19980126
     EP 975330
                      A1
                           20000202
                                          EP 1998-903718
                                                           19980126
         R:
            DE, FR, GB, IT
     JP 2001511780
                      T2
                           20010814
                                          JP 1998-532984
                                                           19980126
                                          ZA 1998-833
     ZA 9800833
                      Α
                           19990526
                                                           19980202
PRAI US 1997-794064
                      A 19970204
                     Α
     US 1998-3606
                           19980107
     WO 1998-US1430
                      W
                           19980126
             THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 10
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
     57-50-1, Sucrose, biological studies 57-88-5, Cholesterol, biological
IT
     studies 59-02-9, .alpha.-Tocopherol 63-42-3, Lactose 69-79-4,
     Maltose 99-20-7, Trehalose 110-17-8, Fumaric acid, biological studies
     110-44-1, Sorbic acid
                           114-07-8, Erythromycin A 121-79-9, Propyl
     gallate 128-37-0, Bht, biological studies
                                                 134-03-2, Sodium ascorbate
     137-66-6, Ascorbylpalmitate 527-75-3, Erythromycin b 1109-28-0,
    Maltotriose
                 1392-21-8, Kitasamycin; 1675-02-1, Erythromycin c
     3922-90-5, Oleandomycin; 4539-70-2, Distearoyllecithin
                                                              4618-18-2,
                6915-15-7, Malic acid 7681-57-4, Sodium metabisulfite
     Lactulose
     13718-94-0, Palatinose 16846-24-5, Josamycin; 18656-38-7, Dimyristoyl
    phosphatidylcholine 25013-16-5, Butylatedhydroxyanisole 30170-00-4, Dimyristoyl phosphatidic acid 33442-56-7, Erythromycin d 35457-80-8,
    Midecamycin; 35834-26-5, Rosaramicin; 55881-07-7, Miocamycin;
     62013-04-1, Dirithromycin; 74014-51-0, Rokitamycin; 80214-83-1,
                     81103-11-9, Clarithromycin; 82664-20-8, Flurithromycin;
     Roxithromycin;
                               150785-50-5
                                             150785-53-8,
     83905-01-5, Azithromycin;
    ABT 229
              150851-36-8 211373-23-8
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (pain reducing parenteral liposome formulation contg.
```

macrolide drugs and neg. charged lipids)

- L10 ANSWER 8 OF 21 MEDLINE on STN
- AN 97327138 MEDLINE
- DN 97327138 PubMed ID: 9183925
- TI [Mycobacterium avium complex infections: the point on the treatments]. Infections a mycobacteries du complexe aviaire: le point sur les traitements.
- AU Brandissou S; Hamel B; Veillet B; Kinowski J M; Yagoubi N; Bressolle F
- CS Laboratoire de Pharmacocinetique, CHU Caremeau, Nimes, France.
- SO THERAPIE, (1997 Jan-Feb) 52 (1) 65-71. Ref: 47 Journal code: 0420544. ISSN: 0040-5957.
- CY ENGLAND: United Kingdom
- DT Journal; Article; (JOURNAL ARTICLE)
 General Review; (REVIEW)
 (REVIEW, TUTORIAL)
- LA French
- FS Priority Journals; AIDS
- EM 199707
- ED Entered STN: 19970721 Last Updated on STN: 19970721 Entered Medline: 19970707
- AB Mycobacterium avium complex (MAC) infections are the most frequent opportunistic infections in AIDS. Since progress in antiretroviral drugs enables AIDS patients to survive longer, these infections involve an increasing number of sick people. Few controlled assays have evaluated the efficiency of several antibiotics. When used in monotherapy, clarithromycin (one gram twice a day) appeared as the most efficient drug while the effectiveness of azithromycin, clofazimine, rifampin and liposomal encapsulated gentamicin have not been truly proved. Due to its bacteriologic and clinical effects, the most interesting polytherapeutic scheme is the association of clarithromycin (1 g twice a day), ethambutol (15 mg per kg and per day) and rifabutin (600 mg per day).
- L10 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1997:493611 CAPLUS
- DN 127:156100
- TI Treatment of Mycobacterium avium in human immunodeficiency virus-infected individuals
- AU Koletar, Susan L.
- CS Division of Infectious Diseases, The Ohio State University, Columbus, OH, 43210-1228, USA
- SO American Journal of Medicine (1997), 102(5C), 16-21 CODEN: AJMEAZ; ISSN: 0002-9343
- PB Excerpta Medica
- DT Journal; General Review
- LA English
- A review with 34 refs. The treatment of disease caused by Mycobacterium avium complex (MAC) in HIV-infected individuals has undergone considerable evolution over the past 15 yr. Agents with known antimycobacterial activity such as rifampin/rifabutin, ethambutol, and clofazimine, as well as others such as amikacin, liposome-encapsulated gentamicin, several of the fluoroquinolones (ciprofloxacin, ofloxacin, and sparfloxacin), and the new macrolides, azithromycin and clarithromycin, have all been used with varying degrees of success. Of all these agents, the macrolides have clearly had the biggest impact to date on the management of disseminated MAC. Studies of both azithromycin and clarithromycin in short-term monotherapy trials have corroborated their clin. and microbiol. efficacy. The risk of drug resistance with monotherapy, however, has prompted investigation of combination regimens for the treatment of MAC. A recent study of a three-drug,

clarithromycin-based regimen vs. a four-drug regimen without clarithromycin has shown that patients treated with the regimen contg. clarithromycin had significantly greater overall symptomatic improvement, more rapid and significant clearing of mycobacteremia, and improved survival. Results from studies of combination regimens with azithromycin should be available soon. Although there is still no "regimen of choice," initial treatment with at least two active agents, one of which should be either azithromycin or clarithromycin, is the currently recommended approach; the majority opinion favors ethambutol as the preferred second agent. Use of adjunctive therapies and more potent antiretroviral regimens may also play a role.

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L10
    ANSWER 10 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AΝ
     1996:338301 CAPLUS
     124:352709
DN
     Pharmaceutical compositions comprising co-dried sucralfate gel and
ΤI
     polyalcohol
     Colombo, Paolo; Zagnoli, Giorgio; Contos, Simos
IN
PA
     Enosys S.A., Switz.
SO
     PCT Int. Appl., 16 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                 KIND DATE
                                          APPLICATION NO. DATE
    WO 9605843 A1
                           19960229
                                          WO 1995-EP3189
                                                           19950811
PΙ
        W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
             GB, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG,
            MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TT,
            UA, US
         RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
             LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
             SN, TD, TG
     AU 9533831
                           19960314
                                          AU 1995-33831
                                                           19950811
                      Α1
     EP 769953
                      Α1
                           19970502
                                          EP 1995-930446
                                                           19950811
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     JP 10505822
                      T2
                           19980609
                                          JP 1995-507751
                                                           19950811
PRAI IT 1994-MI1775
                           19940825
                           19950811
     WO 1995-EP3189
                       60-54-8D, Tetracycline, derivs. 67-20-9,
TT
     50-78-2, Aspirin
                    67-45-8, Furazolidone 443-48-1, Metronidazole
     Nitrofurantoin
     11111-12-9, Cephalosporin 15687-27-1, Ibuprofen
                                                        22071-15-4, Ketoprofen
                           26787-78-0, Amoxicillin
                                                    36322-90-4, Piroxicam
     22204-53-1, Naproxen
     51481-61-9, Cimetidine
                             56695-65-9, Rosaprostol
                                                      59122-46-2, Misoprostol
     66357-35-5, Ranitidine
                             73590-58-6, Omeprazole
                                                      81103-11-9,
     Clarithromycin 83905-01-5, Azithromycin
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (pharmaceutical compns. comprising co-dried sucralfate gel
        and polyalc.)
    ANSWER 11 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 6
L10
ΑN
     1996:756517 CAPLUS
DN
     126:26437
TI
     Interaction of the macrolide azithromycin with phospholipids. II.
     Biophysical and computer-aided conformational studies
ΑU
    Montenez, Jean-Pierre; Van Bambeke, Francoise; Piret, Jocelyne; Schanck,
    Andre; Brasseur, Robert; Tulkens, Paul M.; Mingeot-Leclercq, Marie-Paule
CS
    Unite Pharmaocl. Cellulaire Mol., Univ. Catholique Louvain, Brussels,
     Belq.
SO
     European Journal of Pharmacology (1996), 314(1/2), 215-227
     CODEN: EJPHAZ; ISSN: 0014-2999
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Elsevier PBDTJournal LA English In a companion paper, we show that azithromycin causes a lysosomal AΒ phospholipidosis in cultured cells, binds in vitro to neg. charged bilayers without causing aggregation or fusion, and inhibits lysosomal phospholipase Al. In this paper, we show that azithromycin decreases the mobility of the phospholipids in neg. charged liposomes (using 31P NMR) and that it increases the fluidity of the acyl chains close to the hydrophilic/hydrophobic interface, but not deeper into the hydrophobic domain (assessed by measuring the fluorescence polarization of trimethylammonium-diphenylhexatriene and diphenylhexatriene, resp.). Computer-aided conformational anal. of mixed monolayers of azithromycin and phosphtidylinositol shows that the drug can be positioned largely in the hydrophobic domain, but close to the interface, with the macrocycle facing the C1 of the fatty acids (allowing the N9a endocyclic tertiary amine to interact with the phospho-groups), the cladinose located on the hydrophobic side of the lipid/water interface and the desosamine projected into the hydrophobic domain. This position is consistent with the exptl. data. Anal. of virtual mols. shows that this unanticipated behavior is due to the shielding of the ionizable N3' amino-group in the desosamine by methyl-groups, and to the wide dispersion of hydrophobic domains all over the mol. The interaction of azithromycin with phospholipids may account for some of its unusual pharmacokinetic properties and for its potential to cause lysosomal phospholipidosis. L10 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN ΑN 1995:576798 CAPLUS DN 122:299138 Use of azithromycin for the treatment of adult periodontitis and topical ΤI compositions for this use Kornman, Kenneth Shyer IN Procter and Gamble Co., USA PA SO PCT Int. Appl., 17 pp. CODEN: PIXXD2 DT Patent LAEnglish FAN.CNT 1 KIND DATE APPLICATION NO. PATENT NO. _____ WO 1994-US10804 19940923 WO 9509601 A1 19950413 PΙ W: AM, AU, BB, BG, BR, BY, CA, CH, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 1994-2173109 19940923 CA 2173109 AA 19950413 AU 9479579 A1 19950501 AU 1994-79579 19940923 19960717 EP 1994-930468 19940923 EP 721324 A1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 1994-510845 19940923 JP 09503504 Т2 19970408 PRAI US 1993-131252 19931001 19940923 WO 1994-US10804 This invention relates to method for treatment of adult periodontitis in a AΒ

AB This invention relates to method for treatment of adult periodontitis in a human or other animal subject, comprising administering to the subject having such disease a safe and effective amt. of azithromycin in a sustained-release polymer carrier. A syringeable gel compn. contained azithromycin 25, glycerol monooleate 70, and hydroxypropyl Me cellulose 5%.

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AN 1995:788354 CAPLUS
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- DN 123:208643
- TI Formulation and efficacy of liposome-encapsulated antibiotics for therapy of intracellular Mycobacterium avium infection
- AU Oh, Yu-Kyoung; Nix, David E.; Straubinger, Robert M.
- CS Dep. Pharmaceutics, State Univ. New York, Amherst, NY, 14260-1200, USA
- SO Antimicrobial Agents and Chemotherapy (1995), 39(9), 2104-11 CODEN: AMACCQ; ISSN: 0066-4804
- PB American Society for Microbiology
- DT Journal
- LA English
- AΒ Mycobacterium avium is an intracellular pathogen that can invade and multiply within macrophages of the reticuloendothelial system. Current therapy is not highly effective. Particulate drug carriers that are targeted to the reticuloendothelial system may provide a means to deliver antibiotics more efficiently to M. avium-infected cells. We investigated the formulation of the antibiotics ciprofloxacin and azithromycin in liposomes and tested their antibacterial activities in vitro against M. avium residing within J774, a murine macrophage-like cell line. A conventional passive-entrapment method yielded an encapsulation efficiency of 9% for ciprofloxacin and because of aggregation mediated by the cationic drug, was useful only with liposomes contg. .ltoreq.50 mol% neg. charged phospholipid. In contrast, ciprofloxacin was encapsulated with >90% efficiency, regardless of the content of neg. charged lipids, by a remote-loading technique that utilized both pH and potential gradients to drive drug into preformed liposomes. Both the cellular accumulation and the antimycobacterial activity of ciprofloxacin increased in proportion to the liposome neg. charge; the maximal enhancement of potency was 43-fold in liposomes of distearoylphosphatidylglycerol-cholesterol (DSPG-Chol) (10:5). Azithromycin liposomes were prepd. as a freeze-dried prepn. to avoid chem. instability during storage, and drug could be incorporated at 33 mol% (with respect to phospholipid). Azithromycin also showed enhanced antimycobacterial effect in liposomes, and the potency increased in parallel to the moles percent of neg. charged lipids; azithromycin in DSPG-Chol (10:5) liposomes inhibited intracellular M. avium growth 41-fold more effectively than did free azithromycin. Thus, ciprofloxacin or azithromycin encapsulated in stable liposomes having substantial neg. surface charge is superior to nonencapsulated drug in inhibition of M. avium growth within cultured macrophages and may provide more effective therapy of M. avium infections.
- IT 83905-01-5, Azithromycin 85721-33-1, Ciprofloxacin
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(formulation and efficacy of liposome-encapsulated antibiotics for therapy of intracellular Mycobacterium avium infection)

- L10 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 8
- AN 1995:870406 CAPLUS
- DN 123:329344
- TI Activities of clarithromycin, azithromycin, and ofloxacin in combination with liposomal or unencapsulated granulocyte-macrophage colony-stimulating factor against intramacrophage Mycobacterium avium-Mycobacterium intracellulare
- AU Onyeji, Cyprian O.; Nightingale, Charles H.; Tessier, Pamela R.; Nicolau, David P.; Bow, Laurine M.
- CS Office Research Administration, Hartford Hospital, Hartford, CT, 06102, USA
- SO Journal of Infectious Diseases (1995), 172(3), 810-16 CODEN: JIDIAQ; ISSN: 0022-1899
- PB University of Chicago Press

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DT
     Journal
     English
LΑ
    Activities of clarithromycin, azithromycin, and ofloxacin in
тT
     combination with liposomal or unencapsulated
     granulocyte-macrophage colony-stimulating factor against intramacrophage
     Mycobacterium avium-Mycobacterium intracellulare
IT
     Antibiotics
     Mycobacterium avium
     Mycobacterium intracellulare
        (activities of clarithromycin, azithromycin, and ofloxacin in
        combination with liposomal or unencapsulated
        granulocyte-macrophage colony-stimulating factor against
        intramacrophage Mycobacterium avium-Mycobacterium intracellulare)
     Pharmaceutical dosage forms
IT
        (liposomes, activities of clarithromycin,
        azithromycin, and ofloxacin in combination with
        liposomal or unencapsulated granulocyte-macrophage
        colony-stimulating factor against intramacrophage Mycobacterium
        avium-Mycobacterium intracellulare)
                                  82419-36-1, Ofloxacin
                                                          83869-56-1, GM-CSF
     81103-11-9, Clarithromycin
IT
     83905-01-5, Azithromycin
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
        (activities of clarithromycin, azithromycin, and ofloxacin in
        combination with liposomal or unencapsulated
        granulocyte-macrophage colony-stimulating factor against
        intramacrophage Mycobacterium avium-Mycobacterium intracellulare)
    ANSWER 15 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 9
L10
     1995:298707 CAPLUS
AN
DN
     122:156123
     Inhibition of cytoplasmic and organellar protein synthesis in Toxoplasma
ΤI
     gondii: implications for the target of macrolide antibiotics
     Beckers, Con J. M.; Roos, David S.; Donald, Robert G. K.; Luft, Benjamin
ΑU
     J.; Schwab, J. Conrad; Cao, Yang; Joiner, Keith A.
     Department Medicine, Yale University School Medicine, New Haven, CT,
CS
     06520-8022, USA
     Journal of Clinical Investigation (1995), 95(1), 367-76
SO
     CODEN: JCINAO; ISSN: 0021-9738
     Rockefeller University Press
PB
DT
     Journal
LA
     English
     We investigated potential targets for the activity of protein synthesis
AB
     inhibitors against the protozoan parasite Toxoplasma gondii. Although
     nanomolar concns. of azithromycin and clindamycin prevent replication of
     T. gondii in both cell culture and in vivo assays, no inhibition of
     protein labeling was obsd. in either extracellular or intracellular
     parasites treated with up to 100 .mu.M drug for up to 24 h. Quant. anal.
     of >300 individual spots on two-dimensional gels revealed no
     proteins selectively depicted by 100 .mu.M azithromycin. In
     contrast, cycloheximide inhibited protein synthesis in a dose-dependent
     manner. Nucleotide sequence anal. of the peptidyl transferase region from
     genes encoding the large subunit of the parasite's rRNA predict that the
     cytoplasmic ribosomes of T. gondii, like other eukaryotic ribosomes,
     should be resistant to macrolide antibiotics. Combining cycloheximide
     treatment with two-dimensional gel anal. revealed a small subset of
     parasite proteins likely to be synthesized on mitochondrial ribosomes.
     Synthesis of these proteins was inhibited by 100 .mu.M tetracycline, but
     not by 100 .mu.M azithromycin or clindamycin. Ribosomal DNA sequences
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believed to be derived from the T. gondii mitochondrial genome predict macrolide/lincosamide resistance. PCR amplification and total T. gondii

DNA identified an addnl. class of prokaryotic-type ribosomal genes, similar to the plastid-like ribosomal genes of the Plasmodium falciparum. Ribosomes encoded by these genes are predicted to be sensitive to the lincosamide/macrolide class of antibiotics, and may serve as the functional target for azithromycin, clindamycin, and other protein synthesis inhibitors in Toxoplasma and related parasites.

- L10 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1995:204370 CAPLUS
- DN 122:398
- TI Activities of liposome-encapsulated azithromycin and rifabutin compared with that of clarithromycin against Mycobacterium avium-intracellulare complex in human macrophages
- AU Onyeji, Cyprian O.; Nightingale, Charles H.; Nicolau, David P.; Quintiliani, Richard
- CS Department of Pharmacy and Research, Hartford Hospital, Hartford, CT, 06115, USA
- SO International Journal of Antimicrobial Agents (1994), 4(4), 281-9 CODEN: IAAGEA; ISSN: 0924-8579
- PB Elsevier
- DT Journal
- LA English
- TI Activities of liposome-encapsulated azithromycin and
 rifabutin compared with that of clarithromycin against Mycobacterium
 avium-intracellulare complex in human macrophages
- The activities of liposome-entrapped azithromycin, AΒ rifabutin or clarithromycin against Mycobacterium avium-intracellulare (MAI) were evaluated in a cell model of intramacrophage infection. Exposure of free (unencapsulated) and liposome-encapsulated rifabutin or azithromycin to human monocyte-derived macrophages resulted in a marked increase in the uptake of the liposome -entrapped drugs compared to the free form. The macrophages were infected at day 7 of culture with MAI. Treatment was initiated 24 h following the infection and the surviving intracellular bacteria were counted at days 2, 4, and 5. The drugs were used at concns. close to the serum peak levels achievable following administration of therapeutic oral doses. The antimycobacterial activity of each of the three drugs was significantly enhanced (P < 0.01) when the drugs were delivered in the liposome-entrapped form as compared with the effects of the free drugs. Free and liposome-encapsulated drugs were used at the same concns. With the strain of MAI used (ATCC 49601), the efficacy of clarithromycin was significantly higher (P < 0.01) compared to free or liposome -entrapped azithromycin. Also, rifabutin either in the free or liposomal form, was markedly more effective than clarithromycin. Addn. of ethambutol enhanced the efficacies of the three drugs whether in the free or liposomal forms. These results suggest that liposome -encapsulation of rifabutin, azithromycin or clarithromycin may provide the means for effective eradication of MAI infections. expts. in animal models are required to establish the in vivo anti-MAI efficacy of these liposomal antimicrobials.
- ST liposome azithromycin rifabutin Mycobacterium macrophage clarithromycin
- IT Antibiotics

Macrophage

Mycobacterium intracellulare

(liposome-encapsulated azithromycin and rifabutin compared with clarithromycin against Mycobacterium avium-intracellulare in human macrophages)

IT Pharmaceutical dosage forms

(liposomes, liposome-encapsulated azithromycin and rifabutin compared with clarithromycin against Mycobacterium avium-intracellulare in human macrophages)

IT 72559-06-9, Rifabutin 81103-11-9, Clarithromycin 83905-01-5,

Azithromycin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(liposome-encapsulated azithromycin and rifabutin compared with clarithromycin against Mycobacterium avium-intracellulare in human macrophages)

- L10 ANSWER 17 OF 21 MEDLINE on STN
- AN 94264187 MEDLINE
- DN 94264187 PubMed ID: 8204776
- TI Treatment of disseminated disease due to the Mycobacterium avium complex in patients with AIDS.
- AU Benson C A
- CS Department of Medicine, Rush Medical College/Rush-Presbyterian-St. Luke's Medical Center, Chicago, Illinois 60612.
- SO CLINICAL INFECTIOUS DISEASES, (1994 Apr) 18 Suppl 3 S237-42. Ref: 50 Journal code: 9203213. ISSN: 1058-4838.
- CY United States
- DT Journal; Article; (JOURNAL ARTICLE)
 General Review; (REVIEW)
 (REVIEW, TUTORIAL)
- LA English
- FS Priority Journals; AIDS
- EM 199407
- ED Entered STN: 19940721 Last Updated on STN: 19940721
- Entered Medline: 19940714 Perhaps the most important recent advance in the field of infections due AB to the Mycobacterium avium complex (MAC) is the identification and development of more effective agents for the treatment and prevention of disseminated disease. These agents include clarithromycin, azithromycin, rifabutin and other rifamycins, ethambutol, clofazimine, fluoroquinolones, amikacin, and liposome -encapsulated gentamicin. Most clinicians currently use multidrug therapy to maximize efficacy and to minimize the emergence of resistance. Prospective clinical trials of multidrug regimens suggest that MAC colony counts in blood decline during therapy, usually with alleviation of clinical symptoms. The small size and short duration of these trials have not permitted an evaluation of survival or quality of life. Because the contribution of any single agent to multidrug trials is difficult to assess, short-term trials of monotherapy have been conducted recently; clarithromycin, azithromycin, ethambutol, and liposome -encapsulated gentamicin have been most active. Rifabutin and rifampin, clofazimine, amikacin, and ciprofloxacin may contribute to the efficacy of multidrug regimens. Current recommendations include the following: (1) disseminated MAC disease should be treated in patients with AIDS; (2) initial treatment should consist of at least two agents; (3) oral clarithromycin or azithromycin is the preferred first agent; (4) ethambutol is the most rational choice for the second agent; and (5) in appropriate cases, additional agents (rifampin or rifabutin, clofazimine, ciprofloxacin, or parenteral amikacin) may be added. Therapy should continue for life.
- L10 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 10
- AN 1992:136237 CAPLUS
- DN 116:136237
- TI Complexes and chelates of antibiotics as antiulcer drugs
- IN Djokic, Slobodan; Vajtner, Zlatko; Krnjevic, Hrvoje; Lopotar, Nevenka; Kolacny-Babic, Lidija
- PA PLIVA Farmaceutska, Kemijska, Prehrambena i Kozmeticka Industrija s P. O.,

Yugoslavia

SO Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DT Patent LA English

FAN.CNT 1

1741.	PATENT NO.		KIND	DATE	A	PPLICATION NO.	DATE
PI	EP	445743 445743 445743	A3	19921007	E	P 1991-103336	19910305
	111				R. GB.	GR, IT, LI, LU	J. NL. SE
	ΑТ	143266	E			г 1991-103336	
						s 1991-103336	
		2037663				A 1991-2037663	
	CA	2037663	С	19990119			
	CN	1054534	Α	19910918	C	N 1991-101355	19910306
	CN	1041166	В	19981216			
	RO	107660	В1	19931230		0 1991-147062	19910306
	RU	2039060	C1	19950709		J 1991-4894967	
	CZ	280181	В6	19951115	_	Z 1991-587	19910306
	US	5498699	Α	19960312	_	s 1991-22398	
	SK	279278	В6	19980909		K 1991-587	
		56849	A2	19911028	H	J 1991-740	19910307
		209455	В	19940628			
		06184186	A2	19940705	J	P 1991-41832	19910307
		2731636	B2	19980325			
		166279	B1			L 1991-289333	19910307
		2039061	C1	19950709		J 1992-5011653	
		1168891	Α	19971231	C	N 1997-109555	19970418
			В				
PRAI	YU	1990-455	Α	19900307			

AB Complexes and chelates of antibiotics with bivalent and/or trivalent metals are prepd. as antiulcer agents. The preferred antibiotic is azithromycin and the metals are chosen from Mg2+, Al3+, Fe3+, Rh3+, La3+, and Bi3+. Gels of azithromycin Al-Mg chelates in a ratio of 1:1 administered to rats were retained within 24 h in the mucous region of the stomach in a higher concn. than azithromycin.

L10 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 11

AN 1991:614711 CAPLUS

DN 115:214711

- TI Liposomes as carriers of macrolides: preferential association of erythromycin A and azithromycin with liposomes of phosphatidylglycerol containing unsaturated fatty acid(s)
- AU Stuhne-Sekalec, L.; Stanacev, N. Z.; Djokic, S.
- CS Fac. Med., Univ. Toronto, Toronto, ON, M5G 1L5, Can.
- SO Journal of Microencapsulation (1991), 8(2), 171-83 CODEN: JOMIEF; ISSN: 0265-2048
- DT Journal
- LA English
- TI Liposomes as carriers of macrolides: preferential association of erythromycin A and azithromycin with liposomes of phosphatidylglycerol containing unsaturated fatty acid(s)
- AB To assess the most favorable phospholipid compn. of a liposomal carrier for antibiotics, small multilamellar liposomes were prepd. from phosphatidylcholine, phosphatidylethanolamine and phosphaidylglycerol of varying fatty acid compn. in the presence of erythromycin A and azithromycin. Crude liposomes were subjected to Sepharose CL-4B column chromatog., and liposomes contg. antibiotics were well sepd. from free antibiotics. These expts. established that the greatest assocn. of antibiotics was achieved with liposomes prepd. from

phosphatidylglycerol rather than phosphatidylcholine or phosphatidylethanolamine. Furthermore, the compn. of fatty acids in phosphatidylglycerol liposomes influenced the amt. of antibiotics assocd. with liposomes; the highest amt. was obtained with dioleoylphosphatidylglycerol followed by phosphatidylglycerol of fatty acid compn. similar to that of egg yolk lecithin. It was established that purified liposomes, prepn. from [3H]phosphaidylglycerol contg. unsatd. fatty acid(s) bind about 25% of originally present antibiotic. antibiotics, erythromycin A and azithromycin, were similar in respect to the amt. of their assocd. with liposomes. Detn. of the size of phosphatidylglycerol/antibiotic liposomes established that the mean diam. of liposomes contg. antibiotics was 200-350 nm, very close to that of liposomes without them. Phosphatidylcholines, biological studies Phosphatidylethanolamines Phosphatidylglycerols RL: SPN (Synthetic preparation); PREP (Preparation) (liposomes contg. unsatd. fatty acids and erythromycin A or azithromycin and, prepn. and evaluation of) 114-07-8P, Erythromycin A 83905-01-5P, Azithromycin RL: SPN (Synthetic preparation); PREP (Preparation) (liposomes contg. phospholipids and unsatd. fatty acids and, prepn. and evaluation of) 18194-24-6P, Dimyristoylphosphatidylcholine 998-07-2P 61361-72-6P, Dimyristoylphosphatidylglycerol 62700-69-0P, Dioleoylphosphatidylglycerol RL: SPN (Synthetic preparation); PREP (Preparation) (liposomes contg. unsatd. fatty acids and erythromycin A or azithromycin and, prepn. and evaluation of) L10 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN 1990:4148 CAPLUS 112:4148 Isolation of azomycin from Pseudomonas fluorescens Shoji, Junichi; Hinoo, Hiroshi; Terui, Yoshihiro; Kikuchi, Junko; Hattori, Teruo; Ishii, Kikuo; Matsumoto, Koichi; Yoshida, Tadashi Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan Journal of Antibiotics (1989), 42(10), 1513-14 CODEN: JANTAJ; ISSN: 0021-8820 Journal English Azomycin was isolated from the culture broth of P. fluorescens by extn. with BuOH followed by column chromatog. on Sephadex LH-20 and silica gel. The physicochem. properties and mol. structure were established. Azomycin displayed high activity against anaerobic bacteria including Clostridium perfringens and Bacteroides fragilis. ANSWER 21 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN 1989:470323 CAPLUS 111:70323 Correlation of partitioning of nitroimidazoles in the n-octanol/saline and liposome systems with pharmacokinetic parameters and quantitative structure-activity relationships (QSAR) Betageri, Gurupadappa V.; Rogers, James A. Fac. Pharm. Pharm. Sci., Univ. Alberta, Edmonton, AB, T6G 2N8, Can. Pharmaceutical Research (1989), 6(5), 399-403 CODEN: PHREEB; ISSN: 0724-8741 Journal English 527-73-1, Azomycin 13551-87-6, Misonidazole 13551-89-8, 17306-43-3, RO-07-0741 13551-92-3, Desmethylmisonidazole Azomycin riboside 21787-91-7, RO-07-2044 22668-01-5, SR-2508

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36877-68-6D, Nitroimidazole, derivs. 74141-74-5, SR-2555 102059-58-5, Iodoazomycin riboside
RL: BIOL (Biological study)
 (partitioning of, in octanol/saline vs. liposome systems, correlation with pharmacokinetic parameters and QSAR of)